

(19) World Intellectual Property
Organization
International Bureau



525,658
10/525,658
Rec'd PCT/PTO 25 FEB 2005

(43) International Publication Date
11 March 2004 (11.03.2004)

PCT

(10) International Publication Number
WO 2004/020441 A1

(51) International Patent Classification⁷: C07D 473/00,
239/94, 239/42

(21) International Application Number:
PCT/EP2003/009621

(22) International Filing Date: 29 August 2003 (29.08.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0220187.9 30 August 2002 (30.08.2002) GB

(71) Applicant (for all designated States except AT, US): NO-
VARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel
(CH).

(71) Applicant (for AT only): NOVARTIS PHARMA GMBH
[AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): ALTMANN,
Eva [CH/CH]; Tannenweg 5, CH-4153 Reinach (CH).
BETSCHART, Claudia [CH/CH]; In den Ziegelhöfen
93, CH-4054 Basel (CH). HAYAKAWA, Kenji [JP/JP];
2-15-7 Nakayama-Satsukidai, Takarazuka-shi, Hyogo
Pref. 665-0871 (JP). IRIE, Osamu [JP/JP]; 4072-3-102,
Ohzone, Tsukuba-shi, Ibaraki Pref. 300-3253 (JP).
SAKAKI, Junichi [JP/JP]; 4-5-9, Ninomiya, Tsukuba-shi,
Ibaraki Pref. 305-0051 (JP). IWASAKI, Genji [JP/JP];

2-18-11, Higashi, Tsukuba-shi, Ibaraki Pref. 305-0046
(JP). LATTMANN, René [CH/CH]; Im Ziegelgarten 6,
CH-4104 Oberwil (CH). MISSBACH, Martin [CH/CH];
Hofstrasse 15, CH-5073 Gipf-Oberfrick (CH). TENO,
Naoki [JP/JP]; 1-25-12, Kamikashiwada, Ushiku, Ibaraki
Pref. 300-1232 (JP).

(74) Agent: GRUBB, Philip; Novartis AG, Corporate Intellec-
tual Property, CH-4002 Basel (CH).

(81) Designated States (national): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM,
TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW.

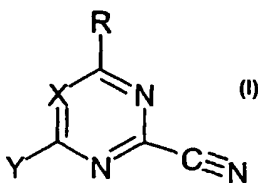
(84) Designated States (regional): Eurasian patent (AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,
IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: HETEROARYL NITRILE DERIVATIVES



(57) Abstract: The invention provides compounds of Formula (I) or a pharmaceutically accept-
able salt or ester thereof wherein the symbols have meaning as defined, which are inhibitors
of cathepsin K and find use pharmaceutically for treatment of diseases and medical conditions
in which cathepsin K is implicated, e.g. various disorders including inflammation, rheumatoid
arthritis, osteoarthritis, osteoporosis and tumors.

WO 2004/020441 A1